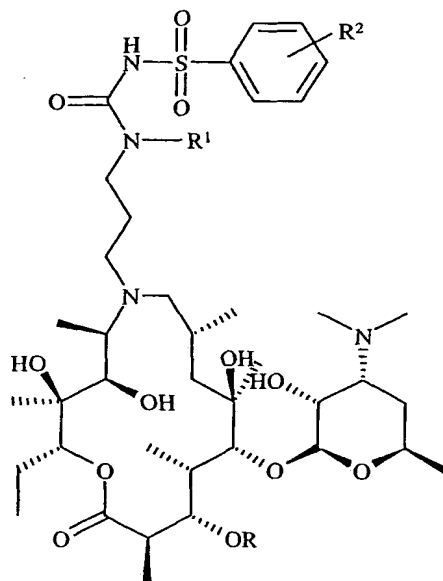


CLAIMS

1. Substituted 9a-N-[N'-(benzenesulfonylcarbamoyl)- γ -aminopropyl] and 9a-N-[N'-(β -cyanoethyl)-N'-(benzenesulfonyl)- γ -aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminy-9-deoxo-9-dihydro-9a-aza-9a-homoerithronolide A, novel semisynthetic macrolide antibiotics of the azalide series having antibacterial action of the general formula 1,

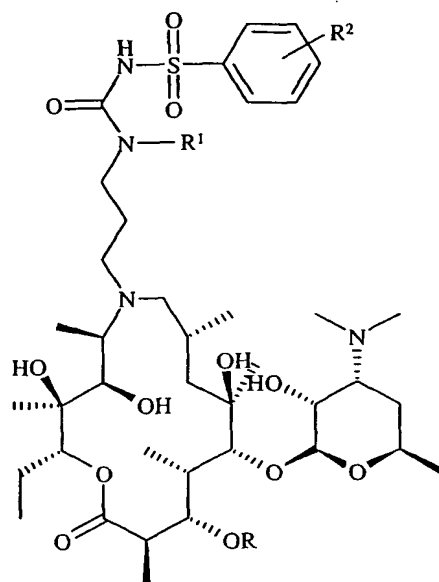


1

- wherein R represents H or cladinოსyl moiety, R¹ represents H or β -cyanoethyl moiety and R² represents H or fluoro, chloro and methyl group and pharmaceutically acceptable addition salts thereof with inorganic or organic acids.
2. Substance according to claim 1, characterized in that R represents cladinოსyl group and R¹ = R² represent H.

3. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents H and R^2 represents 4-chloro group.
4. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents H and R^2 represents 2-chloro group.
5. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents H and R^2 represents 4-fluoro group.
6. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents H and R^2 represents 4-methyl group.
7. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents H and R^2 represents 2-methyl group.
8. Substance according to claim 1, characterized in that $R = R^1 = R^2$ represent H.
9. Substance according to claim 1, characterized in that $R = R^1$ represent H and R^2 represents 4-chloro group.
10. Substance according to claim 1, characterized in that $R = R^1$ represent H and R^2 represents 2-chloro group.
11. Substance according to claim 1, characterized in that $R = R^1$ represent H, and R^2 represents 4-fluoro group.
12. Substance according to claim 1, characterized in that $R = R^1$ represent H, and R^2 represents 4-methyl group.
13. Substance according to claim 1, characterized in that $R = R^1$ represent H, and R^2 represent 2-methyl group.
14. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents β -cyanoethyl group and R^2 represents H.
15. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents β -cyanoethyl group, and R^2 represents 4-chloro group.
16. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents β -cyanoethyl group, and R^2 represents 2-chloro group.
17. Substance according to claim 1, characterized in that represents cladinosyl group, R^1 represents β -cyanoethyl group, and R^2 represents 4-fluoro group.
18. Substance according to claim 1, characterized in that R represents cladinosyl group, R^1 represents β -cyanoethyl group, and R^2 represents 4-methyl group.

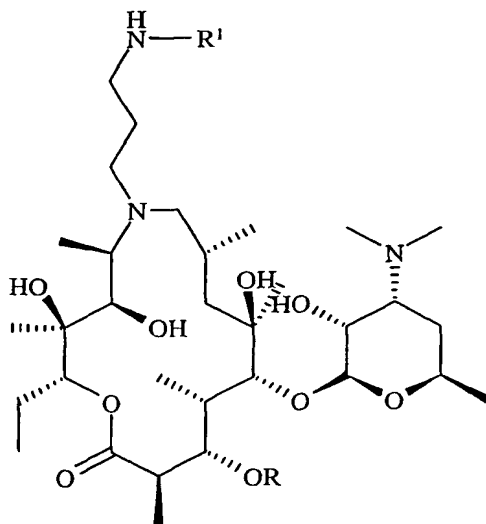
19. Substance according to claim 1, characterized in that R represents cladinosyl group, R¹ represents β-cyanoethyl group, and R² represents 2-methyl group.
20. Substance according to claim 1, characterized in that R = R² represents H, and R¹ represents β-cyanoethyl group.
21. Substance according to claim 1, characterized in that R represents H, R¹ represents β-cyanoethyl group, and R² represents 4-chloro group.
22. Substance according to claim 1, characterized in that R represents H, R¹ represents β-cyanoethyl group, and R² represents 2-chloro group.
23. Substance according to claim 1, characterized in that R represents H, R¹ represents β-cyanoethyl group, and R² represents 4-fluoro group.
24. Substance according to claim 1, characterized in that R represents H, R¹ represents β-cyanoethyl group, and R² represents 4-methyl group.
25. Substance according to claim 1, characterized in that R represents H, R¹ represents β-cyanoethyl group, and R² represents 2-methyl group.
26. Process for the preparation of 9a-N-[N'-(benzenesulfonyl)carbamoyl-γ-aminopropyl] and 9a-N-[N'-(β-cyanoethyl)-N'-(benzenesulfonyl)carbamoyl-γ-aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminy-9-deoxo-9-dihydro-9a-aza-9a-homoerithronolide A of the general formula 1,



1

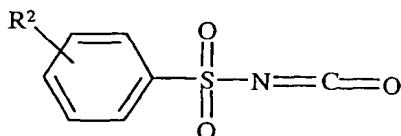
wherein R represents H or cladinosyl group, R^1 represents H or β -cyanoethyl group, and R^2 represents H or fluoro, chloro and methyl group, characterized in that

9a-N-(γ -aminopropyl) and 9a-N-[N'-(β -cyanoethyl)- γ -aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoeithronolide A general formula 2,



2

wherein R represents H and cladinosyl group and R¹ represents H and β-cyanoethyl group is reacted with substituted phenylsulfonylisocyanate general formula 3



3

wherein R² represents H, chloro, fluoro and methyl group, in toluene, xylene or some other aprotic solvents, at a temperature 0°-110°C and then, if appropriate, to a reaction with inorganic or organic acids.

27. Pharmaceutical composition comprising a pharmaceutically acceptable carrier and an antibacterially effective amount of the substances according to claim 1.
28. Use of a substance according to any claims 1 to 25 for preparing compositions for sterilization rooms and medical instruments as well as for protection of wall and wooden coatings.